(FILE 'HOME' ENTERED AT 12:38:08 ON 11 NOV 2005)

FILE 'REGISTRY' ENTERED AT 12:38:12 ON 11 NOV 2005

L1 STRUCTURE UPLOADED

L2 0 S L1

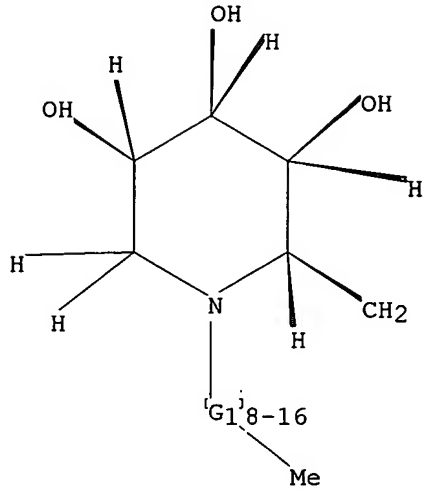
L3 12 S L1 FULL

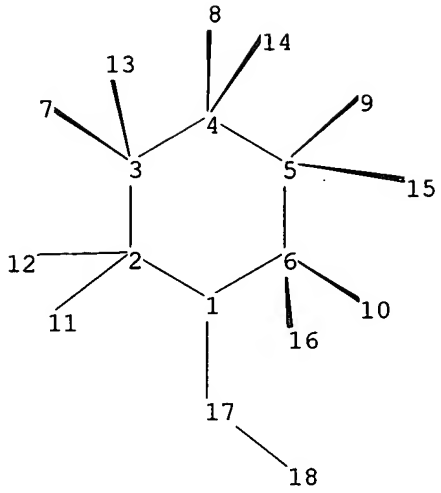
FILE 'CAPLUS, USPATFULL' ENTERED AT 12:38:54 ON 11 NOV 2005

L4 17 S L3

L5 17 DUP REM L4 (0 DUPLICATES REMOVED)

Uploading C:\Program Files\Stnexp\Queries\100311451.str





chain nodes :
7 8 9 10 11 12 13 14 15 16 17 18
ring nodes :
1 2 3 4 5 6
chain bonds :
1-17 2-11 2-12 3-7 3-13 4-8 4-14 5-9 5-15 6-10 6-16 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-17 2-3 3-4 3-7 4-5 4-8 5-6 5-9 17-18
exact bonds :
2-11 2-12 3-13 4-14 5-15 6-10 6-16

G1:O,CH2

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

Stereo Bonds:

7-3 (Single Hash).
8-4 (Single Wedge).
9-5 (Single Wedge).
10-6 (Single Wedge).
13-3 (Single Wedge).
14-4 (Single Hash).
15-5 (Single Hash).
16-6 (Single Hash).

Stereo Chiral Centers:

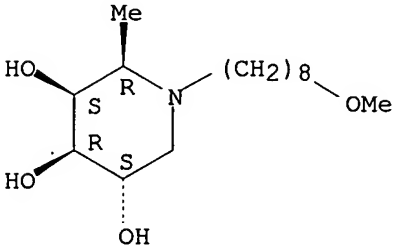
3 (Parity=Even)
4 (Parity=Odd)
5 (Parity=Odd)
6 (Parity=Even)

Stereo RSS Sets:

Type=Relative (Default). 4 Nodes= 3 4 5 6
L1 STRUCTURE UPLOADED

L3 ANSWER 1 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 731855-37-1 REGISTRY
ED Entered STN: 24 Aug 2004
CN 3,4,5-Piperidinetriol, 1-(8-methoxyoctyl)-2-methyl-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H31 N O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

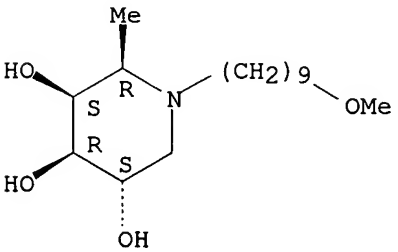


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 700347-06-4 REGISTRY
ED Entered STN: 28 Jun 2004
CN 3,4,5-Piperidinetriol, 1-(9-methoxynonyl)-2-methyl-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C16 H33 N O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



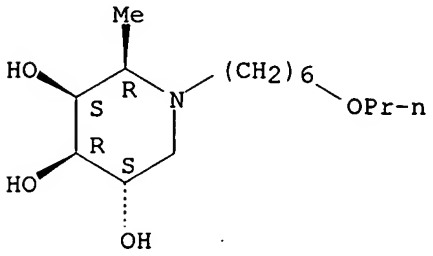
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 532437-22-2 REGISTRY
ED Entered STN: 17 Jun 2003
CN 3,4,5-Piperidinetriol, 2-methyl-1-(6-propoxyhexyl)-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H31 N O4

SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

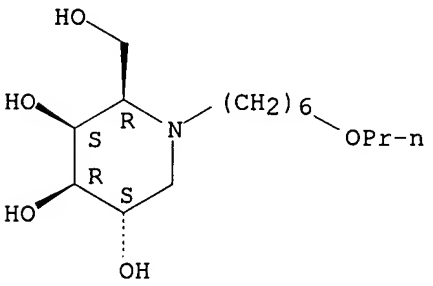


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 4 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 532437-21-1 REGISTRY
ED Entered STN: 17 Jun 2003
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-(6-propoxyhexyl)-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H31 N O5
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

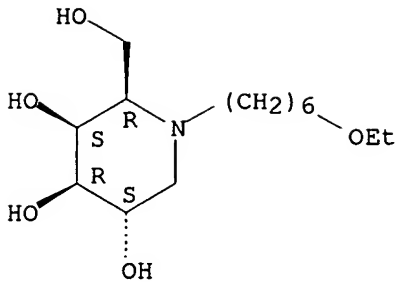


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 5 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 324760-06-7 REGISTRY
ED Entered STN: 28 Feb 2001
CN 3,4,5-Piperidinetriol, 1-(6-ethoxyhexyl)-2-(hydroxymethyl)-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C14 H29 N O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

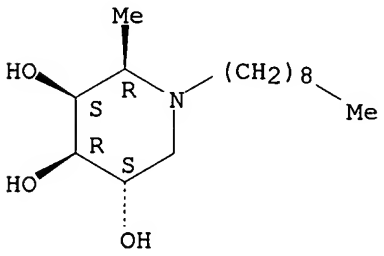


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 6 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 324760-01-2 REGISTRY
ED Entered STN: 28 Feb 2001
CN 3,4,5-Piperidinetriol, 2-methyl-1-nonyl-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H31 N O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

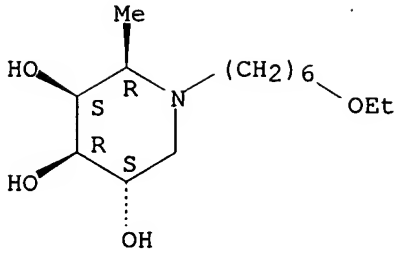


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 7 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 324759-99-1 REGISTRY
ED Entered STN: 28 Feb 2001
CN 3,4,5-Piperidinetriol, 1-(6-ethoxyhexyl)-2-methyl-, hydrochloride, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C14 H29 N O4 . Cl H
SR CA
LC STN Files: CA, CAPLUS, IMSRESEARCH
CRN (324759-98-0)

Absolute stereochemistry.

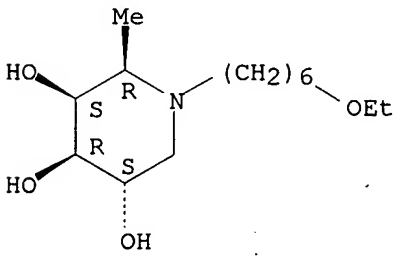


● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 8 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 324759-98-0 REGISTRY
ED Entered STN: 28 Feb 2001
CN 3,4,5-Piperidinetriol, 1-(6-ethoxyhexyl)-2-methyl-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C14 H29 N O4
CI COM
SR CA
LC STN Files: CA, CAPLUS, IMSDRUGNEWS, IMSRESEARCH, TOXCENTER, USPATFULL

Absolute stereochemistry.

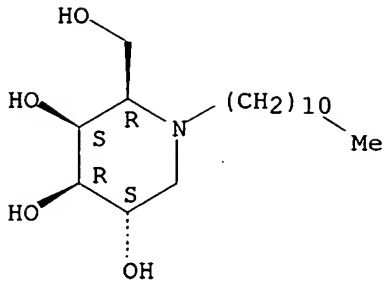


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 9 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 223771-85-5 REGISTRY
ED Entered STN: 04 Jun 1999
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-undecyl-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H35 N O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

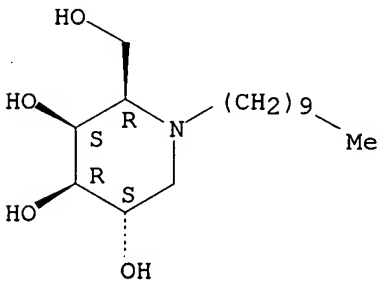


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 10 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 223771-84-4 REGISTRY
ED Entered STN: 04 Jun 1999
CN 3,4,5-Piperidinetriol, 1-decyl-2-(hydroxymethyl)-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C16 H33 N O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

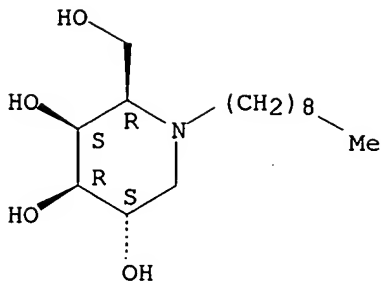


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 11 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 223771-83-3 REGISTRY
ED Entered STN: 04 Jun 1999
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-nonyl-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C15 H31 N O4
SR CA
LC STN Files: CA, CAPLUS, CHEMCATS, IMSRESEARCH, PROUSDDR, SYNTHLINE, TOXCENTER, USPATFULL

Absolute stereochemistry.

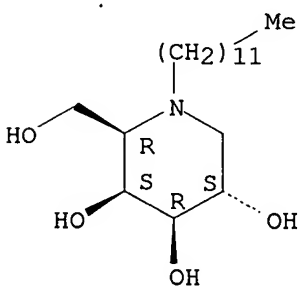


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10 REFERENCES IN FILE CA (1907 TO DATE)
10 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 12 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 141206-27-1 REGISTRY
ED Entered STN: 08 May 1992
CN 3,4,5-Piperidinetriol, 1-dodecyl-2-(hydroxymethyl)-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 3,4,5-Piperidinetriol, 1-dodecyl-2-(hydroxymethyl)-, [2R-(2α,3α,4α,5β)]-
FS STEREOSEARCH
MF C18 H37 N O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:470941 CAPLUS
DN 141:33755
TI Use of imino sugar derivatives to inhibit ion channel activity
IN Zitzmann, Nicole; Dwek, Raymond
PA The Chancellor, Masters and Scholars of The University of Oxford, Germany
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004047719	A2	20040610	WO 2003-IB6471	20030923
	WO 2004047719	A3	20050526		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2500940	AA	20040610	CA 2003-2500940	20030923
	US 2004110795	A1	20040610	US 2003-669175	20030923
	EP 1556036	A2	20050727	EP 2003-811849	20030923
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-412560P	P	20020923		
	WO 2003-IB6471	W	20030923		

OS MARPAT 141:33755
AB Disclosed are methods and kits to treat hepatitis C virus (HCV) infection by administering an iminosugar derivative compound that is effective to inhibit the activity of HCV p7 protein, and methods by which to screen for compds. that inhibit the activity of p7 protein or variants thereof. The disclosed N-substituted imino compds., and pharmaceutical compns. thereof, inhibit the capability of HCV p7 to permeabilize membranes. Particularly efficacious compds. are imino sugars derived from N-alkylated piperidines. Also disclosed are methods for screening for potential HCV antiviral agents.

L5 ANSWER 2 OF 17 USPATFULL on STN
AN 2004:145122 USPATFULL
TI Use of iminosugar derivatives to inhibit ion channel activity
IN Zitzmann, Nicole, Odendort, GERMANY, FEDERAL REPUBLIC OF
Frs, Raymond Allen Dwek, Oxford, UNITED KINGDOM
PA United Therapeutics Corp. (non-U.S. corporation)
PI US 2004110795 A1 20040610
AI US 2003-669175 A1 20030923 (10)
PRAI US 2002-412560P 20020923 (60)
DT Utility
FS APPLICATION
LREP FOLEY AND LARDNER, SUITE 500, 3000 K STREET NW, WASHINGTON, DC, 20007
CLMN Number of Claims: 57
ECL Exemplary Claim: 1
DRWN 8 Drawing Page(s)
LN.CNT 1428
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are methods to treat HCV infection by administering an iminosugar derivative compound that is effective to inhibit the activity of HCV p7 protein, and methods by which to screen for compounds that inhibit the activity of p7 protein or variants thereof. The disclosed N-substituted imino compounds, and pharmaceutical compositions thereof, inhibit the capacity of HCV p7 to permeabilize membranes. Particularly efficacious compounds are imino sugars derived from N-alkylated

piperidines of the formulas: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 3 OF 17 USPATFULL on STN
AN 2004:25240 USPATFULL
TI Reversible infertility in male mice following oral administration of alkylated imino sugars: a non-hormonal approach to male contraception
IN van der Spoel, Aarnoud C., Oxford, UNITED KINGDOM
Jeyakumar, Mylvaganam, Oxford, UNITED KINGDOM
Butters, Terry D., Garsington, UNITED KINGDOM
Dwek, Raymond A., Oxford, UNITED KINGDOM
Platt, Frances M., Long Hanborough, UNITED KINGDOM
PA United Therapeutics Corporation (non-U.S. corporation)
PI US 2004019082 A1 20040129
AI US 2003-386925 A1 20030313 (10)
PRAI US 2002-363561P 20020313 (60)
US 2002-381329P 20020520 (60)
DT Utility
FS APPLICATION
LREP FOLEY AND LARDNER, SUITE 500, 3000 K STREET NW, WASHINGTON, DC, 20007
CLMN Number of Claims: 44
ECL Exemplary Claim: 1
DRWN 15 Drawing Page(s)
LN.CNT 1223
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides a method by which to reversibly render male mammals infertile. Thus, the disclosed N-substituted imino compounds, and pharmaceutical compositions thereof, completely impair the fertility of male mammals, but exhibit no effect on that of female mammals, and are thus useful as male contraceptives. Particularly efficacious compounds are imino sugars derived from N-alkylated piperidines of the formulae: ##STR1##

wherein R.sup.2 is can be a linear or branched C.sub.1-18 alkyl, C.sub.2-18 alkenyl or alkynyl; or aralkyl; which may be optionally substituted with one or more of --OH; --F; --Cl; --Br; --I; --NH.sub.2; alkyl- and dialkylamino; linear or branched C.sub.1-6 alkyl, C.sub.2-6 alkenyl and alkynyl; aralkyl; linear or branched C.sub.1-6 alkoxy, aryloxy; aralkoxy; --CN, --NO.sub.2, --COOH, --COO(alkyl); --COO(aryl); --C(O)NH(C.sub.1-6 alkyl); --C(O)NH(aryl); sulfonyl; (C.sub.1-6 alkyl)sulfonyl; arylsulfonyl; sulfamoyl, (C.sub.1-6 alkyl)sulfamoyl; (C.sub.1-6 alkyl)thio; (C.sub.1-6 alkyl)sulfonamide; arylsulfonamide; --NHNH.sub.2; and --NHOH.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:468952 CAPLUS
DN 141:150658
TI α-galactosylceramide and novel synthetic glycolipids directly induce the innate host defense pathway and have direct activity against hepatitis B and C viruses
AU Mehta, Anand S.; Gu, Baohua; Conyers, Bertha; Ouzounov, Serguey; Wang, Lijuan; Moriarty, Robert M.; Dwek, Raymond A.; Block, Timothy M.
CS Department of Biochemistry and Molecular Pharmacology, Thomas Jefferson University, The Jefferson Center, Doylestown, PA, 18901, USA
SO Antimicrobial Agents and Chemotherapy (2004), 48(6), 2085-2090
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB α-Galactosylceramide is a glycolipid derived from marine sponges that is currently in human clin. trials as an anticancer agent. It has also been shown to be effective in reducing the amount of hepatitis B virus (HBV) DNA detected in mice that produce HBV constitutively from a transgene. It was assumed that all of the antiviral and antitumor activities associated with α-galactosylceramide were mediated through

the activation of NK T cells. However, we report here an addnl. unpredicted activity of α-galactosylceramide as a direct antiviral agent and inducer of the innate host defense pathway. To exploit this activity, we have developed a new class of smaller, orally available glycolipids that also induce the innate host defense pathway and have direct activity against HBV and hepatitis C virus.

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:115630 CAPLUS
DN 141:467
TI Effects of interferon, ribavirin, and iminosugar derivatives on cells persistently infected with noncytopathic bovine viral diarrhea virus
AU Durantel, David; Carrouee-Durantel, Sandra; Branza-Nichita, Norica; Dwek, Raymond A.; Zitzmann, Nicole
CS Oxford Glycobiology Institute, Department of Biochemistry, University of Oxford, Oxford, OX1 3QU, UK
SO Antimicrobial Agents and Chemotherapy (2004), 48(2), 497-504
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB Persistent infection with hepatitis C virus (HCV) is a major cause of chronic hepatitis in humans. In chronic carriers, the viral infection induces liver damage that predisposes the patient for cirrhosis and can lead to hepatocellular carcinoma. Current chemotherapies are limited to alpha interferon (IFN-α) used either alone or in combination with ribavirin (RBV). In addition to its limited efficacy, this treatment is frequently poorly tolerated because of its side effects. The urgently needed development of new drugs is made difficult by the lack of an in vitro or in vivo infectivity model, and no cell line has been found so far to reliably and reproducibly support HCV infection. For this reason, the closely related pestivirus bovine viral diarrhea virus (BVDV) has sometimes been used as a surrogate in vitro infectivity model. In this study we used an MDBK cell line persistently infected with noncytopathic BVDV to assess the antiviral effect of IFN-α and RBV, the two drugs currently in clin. use against HCV. The same system was then used to evaluate the potential of two classes of iminosugar derivs. to clear noncytopathic BVDV infection from MDBK cells. We show that treatment with long-alkyl-chain deoxynojirimycin derivs., which are inhibitors of the endoplasmic reticulum (ER)-resident α-glucosidases, can greatly reduce the amount of secreted enveloped viral RNA. Long-alkyl-chain deoxygalactonojirimycin derivs., which do not inhibit ER α-glucosidases, were less potent but still more effective in this system than IFN-α or ribavirin.

RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:737575 CAPLUS
DN 139:240828
TI A non-hormonal approach to male contraception
IN Van der Spoel, Aarnoud C.; Jeyakumar, Mylvaganam; Butters, Terry D.; Dwek, Raymond A.; Platt, Frances M.
PA UK
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

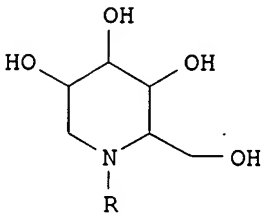
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003075916	A1	20030918	WO 2003-IB1512	20030313

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,

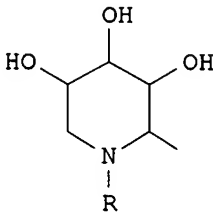
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2479027	AA	20030918	CA 2003-2479027	20030313
US 2004019082	A1	20040129	US 2003-386925	20030313
EP 1524976	A1	20050427	EP 2003-712575	20030313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005532274	T2	20051027	JP 2003-574191	20030313
PRAI US 2002-363561P	P	20020313		
US 2002-381329P	P	20020520		
WO 2003-IB1512	W	20030313		

OS MARPAT 139:240828
GI



I



II

AB The present invention provides a method by which to reversibly render male mammals infertile. Thus, the disclosed N-substituted imino compds., and pharmaceutical compns. thereof, completely impair the fertility of male mammals, but exhibit no effect on that of female mammals, and are thus useful as male contraceptives. Particularly efficacious compds. are imino sugars derived from N-alkylated piperidines of the formulas (I) and (II): wherein R is can be a linear or branched C1-18 alkyl, C2-18 alkenyl or alkynyl; or aralkyl; which may be optionally substituted with one or more of -OH; -F; -CI; -Br; -I; -NH2; alkyl- and dialkylamino; linear or branched C1-6 alkyl, C2-6 alkenyl and alkynyl; aralkyl; linear or branched C1-6 alkoxy, aryloxy; aralkoxy; -CN, -NO2, -COOH, -COO(alkyl); -COO(aryl); - C(O)NH(C1-6 alkyl); -C(O)NH(aryl); sulfonyl; (C1-6 alkyl)sulfonyl; arylsulfonyl; sulfamoyl, (C1-6 alkyl)sulfamoyl; (C1-6 alkyl)thio; (C1-6 alkyl)sulfonamide; arylsulfonamide; -NHNH2; and -NHOH.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:882428 CAPLUS
DN 140:174507
TI The alkylated imino sugar, n-(n-Nonyl)deoxygalactonojirimycin, reduces the amount of hepatitis B virus nucleocapsid in tissue culture
AU Lu, Xuanyong; Tran, Trang; Simsek, Ender; Block, Timothy M.
CS Biochemistry and Molecular Pharmacology Department, Jefferson Center for Bio-Medical Research and Agricultural Medicine, Thomas Jefferson University, Doylestown, PA, 18901, USA
SO Journal of Virology (2003), 77(22), 11933-11940
CODEN: JOVIAM; ISSN: 0022-538X
PB American Society for Microbiology
DT Journal
LA English
AB N-(n-Nonyl)deoxygalactonojirimycin (n,n-DGJ), an alkylated imino sugar, reduces the amount of HBV DNA produced within the stably transfected HBV-producing HepG2.2.15 line in culture and is under consideration for development as a human therapeutic. N,n-DGJ does not appear to inhibit HBV DNA polymerase activity or envelop antigen production (A. Mehta, S. Carrouee, B. Conyers, R. Jordan, T. Butters, R. A. Dwek, and T. M. Block,

Hepatol. 33:1488-1495, 2001), and the mechanism of antiviral action is unknown. In this study, the step in the virus life cycle affected by n,n-DGJ was explored. Using Northern anal. and immunopptn. with anti-HBc antibody, we found that, under conditions in which cell viability was not affected but viral DNA production was substantially reduced, neither the amount of HBV transcription products nor the core polypeptide was detectably reduced. However, the pregenomic RNA, endogenous polymerase activity, and core polypeptide sedimenting in sucrose gradients with a d. consistent with that of assembled nucleocapsids were significantly less in the HepG2.2.15 cells incubated with n,n-DGJ. These data suggest that n,n-DGJ either prevents the maturation of HBV nucleocapsids or destabilizes the formed nucleocapsids. Although the cellular and viral mediators of this inhibition are not known, depletion of nucleocapsid has been attributed to some other compds. as well as interferon's mechanism of anti-HBV action. The similarities and differences between this alkylated imino sugar and these other mediators are discussed.

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:397673 CAPLUS
DN 139:225928
TI The hepatitis C virus p7 protein forms an ion channel that is inhibited by long-alkyl-chain iminosugar derivatives
AU Pavlovic, Davor; Neville, David C. A.; Argaud, Olivier; Blumberg, Baruch; Dwek, Raymond A.; Fischer, Wolfgang B.; Zitzmann, Nicole
CS Department of Biochemistry, University of Oxford, Oxford, OX1 3QU, UK
SO Proceedings of the National Academy of Sciences of the United States of America (2003), 100(10), 6104-6108
CODEN: PNASA6; ISSN: 0027-8424
PB National Academy of Sciences
DT Journal
LA English
AB We show that hepatitis C virus (HCV) p7 protein forms ion channels in black lipid membranes. HCV p7 ion channels are inhibited by long-alkyl-chain iminosugar derivs., which have antiviral activity against the HCV surrogate bovine viral diarrhea virus. HCV p7 presents a potential target for antiviral therapy.

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:665710 CAPLUS
DN 140:156703
TI Membrane disruption and cytotoxicity of hydrophobic N-alkylated imino sugars is independent of the inhibition of protein and lipid glycosylation
AU Mellor, Howard R.; Platt, Frances M.; Dwek, Raymond A.; Butters, Terry D.
CS Glycobiology Institute, Department of Biochemistry, University of Oxford, Oxford, OX1 3QU, UK
SO Biochemical Journal (2003), 374(2), 307-314
CODEN: BIJOAK; ISSN: 0264-6021
PB Portland Press Ltd.
DT Journal
LA English
AB The N-alkyl moiety of N-alkylated imino sugars is crucial for therapeutic activities of these compds. as inhibitors of glycosphingolipid (GSL) biosynthesis and as antivirals. The improved potency afforded by a long N-alkyl moiety is coincident with increased compound-induced cytotoxicity. Therefore, in the present study, we examined the mechanism of this cytotoxicity in detail. Despite N -butyl-deoxynojirimycin and N -butyl-deoxygalactonojirimycin inhibiting the glycosylation of ceramide to glucosylceramide, ceramide levels did not increase in HL60 cells treated with these compds. Long-chain N-alkylated imino sugars were toxic to cells at concns. considerably lower than the critical micellar concns. for these compds. and consequently did not solubilize radioactively labeled cellular proteins and lipids. However, membrane disruption and cell fragmentation did increase in a concentration- and chain-length-dependent manner. These results are consistent with previously proposed interactions between

surface-active amphiphiles and protein-containing lipid membranes when drug concns. are below the critical micellar concentration Taken together, these results demonstrate that the cellular toxicity of hydrophobic N-alkylated imino sugars is due to cell lysis and cell fragmentation and, most importantly, is not related to the beneficial therapeutic effects of these compds. on protein and in lipid glycosylation. This information will aid in the future development of more selective imino sugar therapeutics for the treatment of human disease.

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

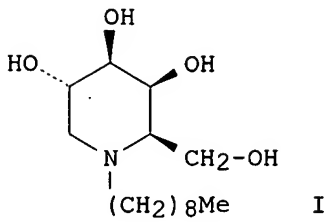
L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:908488 CAPLUS
DN 138:395412
TI Structure-activity relationship of a new class of anti-hepatitis B virus agents
AU Mehta, Anand; Conyers, Bertha; Tyrrell, D. L. J.; Walters, Kathie-Anne; Tipples, Graham A.; Dwek, Raymond A.; Block, Timothy M.
CS Department of Biochemistry and Molecular Pharmacology, The Jefferson Center, Jefferson Medical College, Doylestown, PA, 18901-2697, USA
SO Antimicrobial Agents and Chemotherapy (2002), 46(12), 4004-4008
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB N-Nonyl-deoxy-galactonojirimycin (N-nonyl-DGJ) has been shown to reduce the amount of hepatitis B virus (HBV) produced by tissue cultures under conditions where cell viability is not affected. We show here that the compound N-nonyl-DGJ was effective against lamivudine-resistant HBV mutants bearing the YMDD motif in the polymerase gene, consistent with the compound's activity being distinct from those of nucleoside inhibitors. To better understand the chemical structures that influence its antiviral activity, a series of imino sugar derivs. were made and tested for their antiviral activity against HBV. This work suggest that the antiviral activity of the alkovirs requires an alkyl chain length of at least eight carbons but that the galactose-based head group can be modified with little or no loss in activity.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:114972 CAPLUS
DN 134:163282
TI Preparation of long chain N-alkyl amino and imino alditols and oxa-derivatives as antiviral agents
IN Zitzmann, Nicole; Butters, Terry D.; Platt, Frances M.; Carrouee, Sandra; Jacob, Gary S.; Picker, Donald H.; Fleet, George W. J.; Dwek, Raymond A.
PA UK
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001010429	A2	20010215	WO 2000-US21732	20000810
	WO 2001010429	A3	20010816		
	W: AU, BR, CA, CN, IN, JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 2001018401	A5	20010305	AU 2001-18401	20000810
	EP 1210082	A2	20020605	EP 2000-952683	20000810
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	JP 2003506406	T2	20030218	JP 2001-514949	20000810
PRAI	US 1999-148101P	P	19990810		
	US 2000-198621P	P	20000420		
	WO 2000-US21732	W	20000810		

OS MARPAT 134:163282
GI



I

AB Long chain N-alkyl amino and imino compds., oxa-substituted derivs. R5R4R3CNR2R1 were prepared wherein; R1 is an alkyl or an oxa-substituted derivative thereof; R2 is hydrogen, R3 is carboxy or alkoxycarbonyl, or R2 and R3, together, are -(CXY)n-, wherein n is 3 or 4, each X, independently, is selected from the group consisting of hydrogen, hydroxy, amino, carboxy, alkylcarboxy, alkyl, alkoxy, hydroxyalkyl, acyloxy, and aroyloxy, and each Y, independently, is selected from the group consisting of hydrogen, hydroxy, amino, carboxy, alkylcarboxy, alkyl, alkoxy, hydroxyalkyl, acyloxy, aroyloxy, and deleted; R4 is hydrogen or deleted; and R5 is selected from the group consisting of hydrogen, hydroxy, amino, substituted amino, carboxy, alkoxycarbonyl, aminocarbonyl, alkyl, aryl, aralkyl, alkoxy, hydroxyalkyl, acyloxy, and aroyloxy, or R3 and R5, together, form a Ph and R4 is deleted; wherein when R2 and R3, together, are -(CXY)n- and R4 is deleted, all Y are deleted, or a physiol. acceptable salt or solvate of said compound thereof, and pharmaceutical compns. including such compds. are described. The long chain N-alkyl compds. and oxa-substituted derivs. thereof can be used in the treatment of viral infections, in particular hepatitis B virus or hepatitis C virus, in a cell or an individual. For example, the long chain N-alkyl compds. or oxa-substituted derivs. thereof can be derived from piperidines, pyrrolidines, phenylamines, pyridines, pyrroles, or amino acids. Thus, imino alditol I was prepared and tested for its antiviral activity against hepatitis B virus or hepatitis C virus, in a cell or an individual (EC50 = 2-3 μM).

L5 ANSWER 12 OF 17 USPATFULL on STN
AN 2001:63702 USPATFULL
TI Use of alkylated iminosugars to treat multidrug resistance
IN Jacob, Gary S., Creve Coeur, MI, United States
PA G.D. Searle & Company, Chicago, IL, United States (U.S. corporation)
PI US 6225325 B1 20010501
AI US 1998-189177 19981110 (9)
PRAI US 1997-65051P 19971110 (60)
DT Utility
FS Granted
EXNAM Primary Examiner: Jones, Dwayne C.
LREP Senniger, Powers, Leavitt & Roedel
CLMN Number of Claims: 42
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1991
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Methods and compositions for preventing, reducing, or reversing multidrug resistance (MDR) during cancer chemotherapy in patients undergoing treatment with therapeutically effective amounts of chemotherapeutic agents are provided. The methods comprise administering an anti-MDR effective amount of an N-substituted-1,5-dideoxy-1,5-imino-D-glucitol or galactitol iminosugar to a patient.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:705878 CAPLUS
DN 136:79292
TI Study of the mechanism of antiviral action of iminosugar derivatives against bovine viral diarrhea virus
AU Durantel, David; Branza-Nichita, Norica; Carrouee-Durantel, Sandra; Butters, Terry D.; Dwek, Raymond A.; Zitzmann, Nicole
CS Oxford Glycobiology Institute, Department of Biochemistry, University of Oxford, Oxford, OX1 3QU, UK
SO Journal of Virology (2001), 75(19), 8987-8998
CODEN: JOVIAM; ISSN: 0022-538X
PB American Society for Microbiology
DT Journal
LA English
AB The glucose-derived iminosugar derivs. N-butyl- and N-nonyl-deoxynojirimycin (DNJ) have an antiviral effect against a broad spectrum of viruses including bovine viral diarrhea virus (BVDV). For BVDV, this effect has been attributed to the reduction of viral secretion due to an impairment of viral morphogenesis caused by the ability of DNJ-based iminosugar derivs. to inhibit ER α-glucosidases. Here we present the antiviral features of newly designed DNJ derivs. and report for the first time the antiviral activity of long-alkyl-chain derivs. of deoxygalactonojirimycin (DGJ), a class of iminosugars derived from galactose which does not inhibit endoplasmic reticulum (ER) α-glucosidases. We demonstrate the lack of correlation between the ability of long-alkyl-chain DNJ derivs. to inhibit ER α-glucosidases and their antiviral effect, ruling out ER α-glucosidase inhibition as the sole mechanism responsible. Using short- and long-alkyl-chain DNJ and DGJ derivs., we investigated the mechanisms of action of these drugs. First, we excluded their potential action at the level of the replication, protein synthesis, and protein processing. Second, we demonstrated that DNJ derivs. cause both a reduction in viral secretion and a reduction in the infectivity of newly released viral particles. Long-alkyl-chain DGJ derivs. exert their antiviral effect solely via the production of viral particles with reduced infectivity. We demonstrate that long-alkyl-chain DNJ and DGJ derivs. induce an increase in the quantity of E2-E2 dimers accumulated within the ER. The subsequent enrichment of these homodimers in secreted virus particles correlates with their reduced infectivity.
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:438371 CAPLUS
DN 135:282467
TI Adding to the hepatitis B virus treatment arsenal: α-glucosidase inhibitor derivatives
AU Terrault, Norah
CS Department of Medicine, University of California, San Francisco, CA, 94143, USA
SO Hepatology (Philadelphia, PA, United States) (2001), 33(6), 1544-1546
CODEN: HPTLD9; ISSN: 0270-9139
PB W. B. Saunders Co.
DT Journal; General Review
LA English
AB A review, with 13 refs., describes the two novel anti-hepatitis B virus (HBV) agents, i.e., N-nonyl-deoxynojirimycin (N-nonyl-DNJ) and N-nonyl-deoxygalactojirimycin (N-nonyl-DGJ). N-nonyl-DNJ is a derivative of N-butyl-deoxynojirimycin, a glucosidase inhibitor. N-nonyl-DGJ is the galactose isomer of N-nonyl-DNJ and is not a glucosidase inhibitor but has more potent anti-HBV effects. The effects of these two novel anti-HBV agents are discussed.
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:545931 CAPLUS
DN 133:346609
TI High-Performance Cation-Exchange Chromatography and Pulsed Amperometric Detection for the Separation, Detection, and Quantitation of N-Alkylated

Imino Sugars in Biological Samples
AU Mellor, H. R.; Adam, A.; Platt, F. M.; Dwek, R. A.; Butters, T. D.
CS Oxford Glycobiology Institute, Dep. Biochem., University of Oxford, Oxford, OX1 3QU, UK
SO Analytical Biochemistry (2000), 284(1), 136-142
CODEN: ANBCA2; ISSN: 0003-2697
PB Academic Press
DT Journal
LA English
AB The use of imino sugars for the potential treatment of lysosomal glycolipid storage diseases and hepatitis virus infections requires accurate, quant. measurement of these compds. in biol. samples. We demonstrate here the versatility of cation-exchange chromatog. and pulsed amperometric detection of a range of compds. that differ in both isometric structure and N-alkyl chain length. Although column retention appears dependent upon residual charge on the imine function, successful isocratic separation can be achieved by secondary hydrophobic interactions. A series of N-alkylated deoxynojirimycin compds. containing C1-10 alkyl chains are readily separated and detected by pulsed amperometry after cation suppression. Using exptl. derived response factors for imino sugars and measurement of peak areas we have developed a reliable method for quant. determining concns. in solution A rapid protocol for the removal of protein and contaminants in biol. samples is described. This has allowed the successful measurement of imino sugars in animal tissues and will be useful for understanding the factors involved in compound bioavailability and in the design of novel therapeutics. (c) 2000 Academic Press.
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:325905 CAPLUS
DN 130:325345
TI Preparation and use of alkylated imino-sugars to treat multidrug resistance of cancer
IN Jacob, Gary S.
PA G.D. Searle and Co., USA
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924401	A1	19990520	WO 1998-US23239	19981109
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2309321	AA	19990520	CA 1998-2309321	19981109
	AU 9912973	A1	19990531	AU 1999-12973	19981109
	AU 753336	B2	20021017		
	ZA 9810210	A	19990714	ZA 1998-10210	19981109
	EP 1030839	A1	20000830	EP 1998-956449	19981109
	EP 1030839	B1	20040204		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2001522833	T2	20011120	JP 2000-520415	19981109
	AT 258919	E	20040215	AT 1998-956449	19981109
	PT 1030839	T	20040531	PT 1998-956449	19981109
	ES 2216327	T3	20041016	ES 1998-956449	19981109
	US 6225325	B1	20010501	US 1998-189177	19981110
	TW 581677	B	20040401	TW 1998-87118629	19981211
PRAI	US 1997-65051P	P	19971110		
	WO 1998-US23239	W	19981109		

OS MARPAT 130:325345

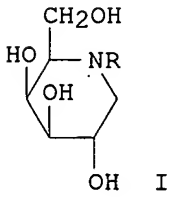
AB The present invention relates to the field of cancer chemotherapy. More particularly, the present invention relates to a compound for improving the effectiveness of cancer chemotherapy by preventing, reducing, or reversing the development of cellular resistance to chemotherapeutic agents, i.e., the phenomenon known as "multidrug resistance" (MDR), during the course of therapy. This is achieved by administering to patients N-alkyl-1,5-dideoxy-1,5-imino-D-glucitol or galactitol compds. ("imino-sugars") in conjunction with chemotherapeutic drugs.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1992:236093 CAPLUS
DN 116:236093
TI Preparation of 1-deoxygalactostatin derivatives as β-galactosidase inhibitors
IN Ezure, Yohji; Maruo, Shigeaki; Miyazaki, Katsunori; Yamada, Naoyoshi
PA Nippon Shinyaku Co., Ltd., Japan
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9200277	A1	19920109	WO 1991-JP866	19910627
	W: CA, JP, NO, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	CA 2086413	AA	19911230	CA 1991-2086413	19910627
	EP 536402	A1	19930414	EP 1991-911965	19910627
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
PRAI	JP 1990-173629	A	19900629		
	JP 1991-35546	A	19910204		
	WO 1991-JP866	W	19910627		

OS MARPAT 116:236093
GI



I

AB The title compds. [I; R = C1-18 (un)saturated hydrocarbon group optionally substituted with a linear, branched or cyclic group], useful as carcinostatic agents, are prepared Thus, 1-deoxygalactostatin-HCl (II) (preparation given) 2.5, 35% aqueous formalin 7.5, and NaBH3CN 2.5 mmol were dissolved in 12.5 mL MeOH and after adjusting to pH 4-5 with glacial AcOH the mixture was stirred at room temperature for 2 h to give 0.34 g I (R = Me) (III). III in vitro inhibited β-galactosidase with IC50 of 15 ng/mL vs. 440 ng/mL for II. A total of 24 I were prepared and similarly tested.